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* * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

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L4   ANSWER 1 OF 1  CA  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         140:94041  CA
TITLE:                    Preparation of pyrazoloisoquinolines as NF
                          .kappa.B-inducing kinase (NIK) inhibitors
INVENTOR(S):              Flohr, Stefanie; Naumann, Thorsten
PATENT ASSIGNEE(S):       Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE:                   PCT Int. Appl., 42 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 German
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
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     WO 2004005287      A1   20040115      WO 2003-EP6500   20030620
     WO 2004005287      C2   20040304
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
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     DE 10229762        A1   20040122       DE 2002-10229762 20020703
PRIORITY APPLN. INFO.:                    DE 2002-10229762 A  20020703
OTHER SOURCE(S):          MARPAT 140:94041
GI
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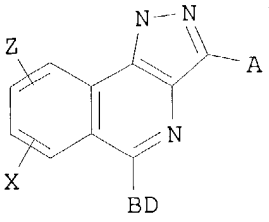
not a good date

Parent



I

AB Title compds. [I; A = alkyl substituted by 1-2 OR1, CO2R1, (substituted) heteroaryl; B = bond, R1-substituted alkylene; D = (substituted) heteroaryl, heterocyclyl, aryl, cycloalkyl; X, Y = H, alkyl, OH, alkoxy, halo; R1 = H, alkyl], were prepd. Thus, PhCO2H, hydroxybenzotriazole, diisopropyl carbodiimide, and 3,5-diphenyl-1H-pyrazol-4-ylamine were stirred 12 h in MeCN to give a residue which was heated with P2O5 and POCl3 in xylene at 150.degree. for 4 h and at room temp. for 12 h to give 3,5-diphenyl-1H-pyrazolo[4,3-c]isoquinoline. The latter inhibited TNF.alpha. release in LPS-stimulated human peripheral blood lymphocytes with IC50 = 1.9 .mu.M.

IT **645417-84-1P 645417-85-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compd.; prepn. of pyrazoloisoquinolines as NIK inhibitors)

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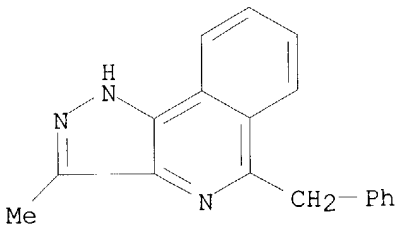

RN 645417-85-2 CA
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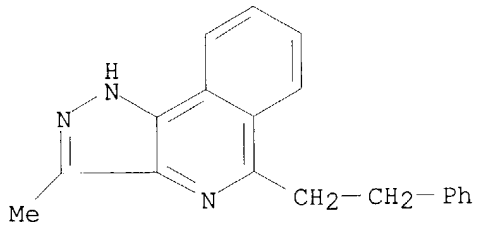

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L5   ANSWER 1 OF 1  MARPAT  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         140:94041  MARPAT
TITLE:                    Preparation of pyrazoloisoquinolines as NF
                          .kappa.B-inducing kinase (NIK) inhibitors
INVENTOR(S):              Flohr, Stefanie; Naumann, Thorsten
PATENT ASSIGNEE(S):       Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE:                   PCT Int. Appl., 42 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 German
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

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     ---------------   ----  --------    ---------------  --------
     WO 2004005287      A1   20040115    WO 2003-EP6500   20030620
     WO 2004005287      C2   20040304
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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             NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
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     DE 10229762        A1   20040122      DE 2002-10229762 20020703
PRIORITY APPLN. INFO.:                    DE 2002-10229762 20020703
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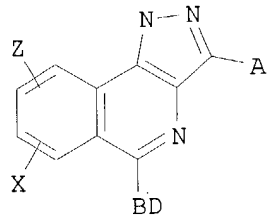
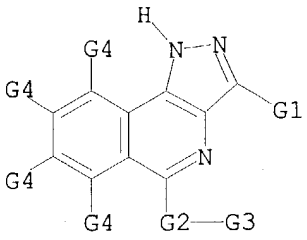


I

AB Title compds. [I; A = alkyl substituted by 1-2 OR1, CO2R1, (substituted) heteroaryl; B = bond, R1-substituted alkylene; D = (substituted) heteroaryl, heterocyclyl, aryl, cycloalkyl; X, Y = H, alkyl, OH, alkoxy, halo; R1 = H, alkyl], were prepd. Thus, PhCO2H, hydroxybenzotriazole, diisopropyl carbodiimide, and 3,5-diphenyl-1H-pyrazol-4-ylamine were stirred 12 h in MeCN to give a residue which was heated with P2O5 and POCl3 in xylene at 150.degree. for 4 h and at room temp. for 12 h to give 3,5-diphenyl-1H-pyrazolo[4,3-c]isoquinoline. The latter inhibited TNF.alpha. release in LPS-stimulated human peripheral blood lymphocytes with IC50 = 1.9 .mu.M.

MSTR 1



G1 = heteroaryl<EC (5-14) A> (SO)
G2 = alkylene<(1-4)> (SO (1-) alkyl<(1-8)>)
G3 = Ph
MPL: claim 1

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L6 STRUCTURE UPLOADED

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=> file ca

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L9 ANSWER 1 OF 4 CA COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 140:94041 CA
TITLE: Preparation of pyrazoloisoquinolines as NF .kappa.B-inducing kinase (NIK) inhibitors
INVENTOR(S): Flohr, Stefanie; Naumann, Thorsten
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005287	A1	20040115	WO 2003-EP6500	20030620
WO 2004005287	C2	20040304		

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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PRIORITY APPLN. INFO.: DE 2002-10229762 A 20020703
OTHER SOURCE(S): MARPAT 140:94041
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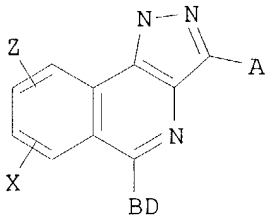
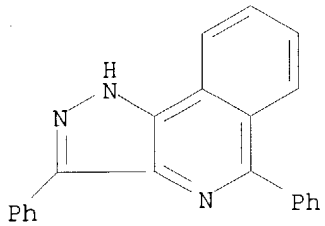


I

AB Title compds. [I; A = alkyl substituted by 1-2 OR1, CO2R1, (substituted) heteroaryl; B = bond, R1-substituted alkylene; D = (substituted) heteroaryl, heterocyclyl, aryl, cycloalkyl; X, Y = H, alkyl, OH, alkoxy, halo; R1 = H, alkyl], were prepd. Thus, PhCO2H, hydroxybenzotriazole, diisopropyl carbodiimide, and 3,5-diphenyl-1H-pyrazol-4-ylamine were stirred 12 h in MeCN to give a residue which was heated with P2O5 and POCl3 in xylene at 150.degree. for 4 h and at room temp. for 12 h to give 3,5-diphenyl-1H-pyrazolo[4,3-c]isoquinoline. The latter inhibited TNF.alpha. release in LPS-stimulated human peripheral blood lymphocytes with IC50 = 1.9 .mu.M.

IT **645417-67-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compd.; prepn. of pyrazoloisoquinolines as NIK inhibitors)

RN 645417-67-0 CA
CN 1H-Pyrazolo[4,3-c]isoquinoline, 3,5-diphenyl- (9CI) (CA INDEX NAME)



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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compd.; prepn. of pyrazoloisoquinolines as NIK inhibitors)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 4 CA COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 108:94544 CA
TITLE: Preparation of pyrazoloisoquniolines as antiinflammatory agents
INVENTOR(S): Tully, Wilfred Roger
PATENT ASSIGNEE(S): Roussel Laboratories Ltd., UK
SOURCE: Brit. UK Pat. Appl., 11 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2185255	A1	19870715	GB 1987-662	19870113
GB 2185255	B2	19891206		
FR 2595096	A1	19870904	FR 1987-152	19870109
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PRIORITY APPLN. INFO.: GB 1986-752 19860114
OTHER SOURCE(S): CASREACT 108:94544
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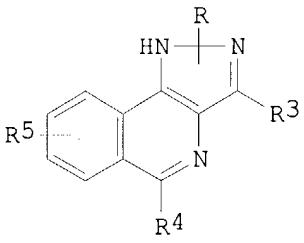

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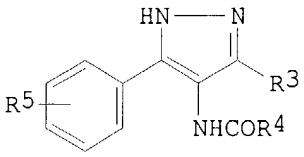


II

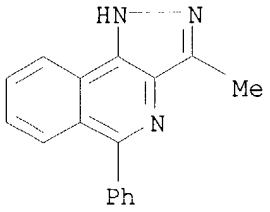
AB The title compds. I [R = H, (substituted) alkyl, alkenyl, cycloalkyl, haloalkyl; R3 = H, alkyl, aryl; R4 = (substituted) alkyl, alkenyl, cycloalkyl, (substituted) aryl; R5 = H, halo, alkyl, alkoxy, NO2], useful as antiinflammatory agents, were prepd. by cyclization of II in the presence of polyphosphoric acid. A mixt. of 10 g 3-methyl-5-phenyl-4-pyrazolamine and 10 g PhCOCl in 100 mL CHCl3 was stirred at room temp. for 30 min to give 12 g N-(3-methyl-5-phenyl-4-pyrazolyl)benzamide (III). A mixt. of 9 g III and 90 g polyphosphoric acid was heated at 200-300.degree. for 15-30 min to give 7 g pyrazoloisoquinoline deriv. I (R = R5 = H, R3 = Me, R4 = Ph) (IV). At 20 mg/kg orally, IV inhibited

carrageenin-induced edema in rats by 48%. Tablets contg. IV, lactose, starch, talc, and Mg stearate were prepd.

IT **112884-48-7P**, 3-Methyl-5-phenyl-1H-pyrazolo[4,3-c]isoquinoline
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiinflammatory agent)

RN 112884-48-7 CA

CN 1H-Pyrazolo[4,3-c]isoquinoline, 3-methyl-5-phenyl- (9CI) (CA INDEX NAME)



IT **112884-48-7P**, 3-Methyl-5-phenyl-1H-pyrazolo[4,3-c]isoquinoline
112884-54-5P 112884-55-6P 112884-56-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiinflammatory agent)

L9 ANSWER 3 OF 4 CA COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 102:112619 CA

TITLE: Photocyclization of 1,2-diaryl- and photo-bicyclization of 1,2,6-triarylpyridinium cations

AUTHOR(S): Katritzky, Alan Roy; Agha, Bushra; De Ville, George Z.; Lunt, Edward; Knyazhanskii, M. I.; Tymyanskii, Ya. R.; Pyshchev, A. I.

CORPORATE SOURCE: Univ. East Anglia, Norwich, UK

SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1984), (11), 1509-18
CODEN: KGSSAQ; ISSN: 0453-8234

DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 102:112619

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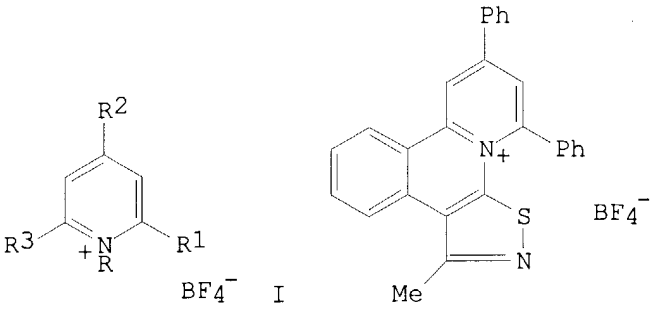

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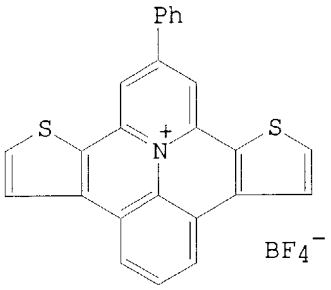

III

AB The photocyclization of pyridinium salts I (R = Ph, substituted Ph, 4-pyridyl, pyrrol-1-yl, 3-methyl-4-isothiazolyl, pyrazol-4-yl, 2-benzotihazolyl, etc.; R1 = Ph, 4-FC6H4, CO2Et, styryl, 2-pyridyl, 4-tolyl, 2-benzothiazolyl, 2-thienyl, Me; R2 = Ph, 4-FC6H4, CO2Et, CO2-, H; R3 = Ph, 4-FC6H4, 4-tolyl, 2-thienyl) was examd. Thus, I (R = 3-methyl-5-isothiazolyl, R1 = R2 = R3 = Ph) gave II, and I (R = R = Ph, R1 = R3 = 2-thienyl) gave III. The photocyclization proceeded via the excited singlet state with nonadiabatic formation of a dihydro intermediate, which then underwent oxidative dehydrogenation. The structure and quantum yield of the photoproducts were detd. by steric and electronic effects of the substituents, and in bichromophoric compds. by singlet-singlet intramol. interfragment energy transfer.

IT **89419-63-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 89419-63-6 CA

CN 1H-Dibenzo[b,g]pyrazolo[3,4,5-ij]pyrido[2,1,6-de]quinolizin-14-ium, 8-phenyl-, tetrafluoroborate(1-), mono[tetrafluoroborate(1-)] (9CI) (CA INDEX NAME)

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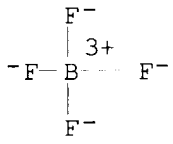

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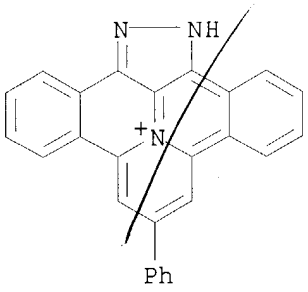
CRN 89419-62-5
CMF C26 H16 N3



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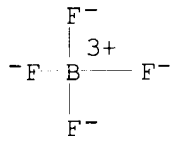

IT **89419-63-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L9 ANSWER 4 OF 4 CA COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 100:174146 CA
TITLE: Spectroscopic elucidation of pseudo-base formation from benzo[8,9]quinolizino[4,5,6,7-fed]phenanthrindyliums
AUTHOR(S): Katritzky, Alan R.; Agha, Bushra; De Ville, George Z.;

Lunt, Edward; Podmore, Michael L.
CORPORATE SOURCE: Sch. Chem. Sci., Univ. East Anglia, Norwich, NR4 7TJ, UK
SOURCE: Organic Magnetic Resonance (1983), 21(11), 649-56
CODEN: ORMRBD; ISSN: 0030-4921
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 13C and 1H NMR and UV are assigned for a variety of substituted and hetero derivs. of benzo[8,9]quinolizino[4,5,6,7-fed]phenanthrindyliums. Large specific effects of traces of H2O on these spectra are traced to pseudo-base formation.

IT **89419-63-6**
RL: PRP (Properties)
(proton NMR and UV of)

RN 89419-63-6 CA
CN 1H-Dibenzo[b,g]pyrazolo[3,4,5-ij]pyrido[2,1,6-de]quinolizin-14-ium, 8-phenyl-, tetrafluoroborate(1-), mono[tetrafluoroborate(1-)] (9CI) (CA INDEX NAME)

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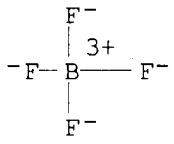

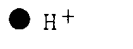

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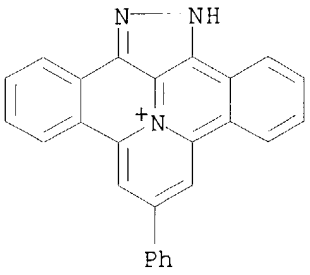
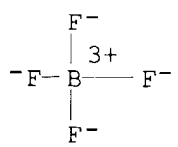


CM 4

CRN 14874-70-5
CMF B F4
CCI CCS



IT **89419-63-6**
RL: PRP (Properties)
(proton NMR and UV of)

=> d his

(FILE 'HOME' ENTERED AT 15:42:57 ON 19 MAY 2004)

FILE 'REGISTRY' ENTERED AT 15:43:02 ON 19 MAY 2004
L1 STRUCTURE UPLOADED
L2 0 S L1 SAM
L3 2 S L1 FULL

FILE 'CA' ENTERED AT 15:43:33 ON 19 MAY 2004
L4 1 S L3

FILE 'MARPAT' ENTERED AT 15:43:49 ON 19 MAY 2004
L5 1 S L1 FULL

FILE 'REGISTRY' ENTERED AT 15:44:19 ON 19 MAY 2004
L6 STRUCTURE UPLOADED
L7 2 S L6 SAM
L8 39 S L6 FULL

FILE 'CA' ENTERED AT 15:45:37 ON 19 MAY 2004
L9 4 S L8

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 15:45:54 ON 19 MAY 2004